

2/3,LS,AB/1 (Item 1 from file: 351)  
Derwent WPI  
(c) 2006 The Thomson Corp. All rights reserved.

012975339

WPI Acc No: 2000-147188/200013

XRAM Acc No: C00-046045

**New pyrazolopyridine compounds useful as adenosine antagonists for treating depression, anxiety, asthma, heart failure, nephrosis, ulcers, sudden infant death syndrome etc.**

Patent Assignee: FUJISAWA PHARM CO LTD (FUJI )

Inventor: AKAHANE A; ITANI H; KURODA S; NISHIMURA S

Number of Countries: 023 Number of Patents: 002

Patent Family:

| Patent No   | Kind | Date     | Applicat No | Kind | Date     | Week     |
|-------------|------|----------|-------------|------|----------|----------|
| WO 9967239  | A1   | 19991229 | WO 98JP2794 | A    | 19980622 | 200013 B |
| JP 11562927 | X    | 20010410 | WO 98JP2794 | A    | 19980622 | 200128   |
|             |      |          | JP 99562927 | A    | 19980622 |          |

Priority Applications (No Type Date): WO 98JP2794 A 19980622

Patent Details:

| Patent No | Kind | Lan Pg | Main IPC | Filing Notes |
|-----------|------|--------|----------|--------------|
|-----------|------|--------|----------|--------------|

|            |    |   |                |  |
|------------|----|---|----------------|--|
| WO 9967239 | A1 | J | 63 C07D-471/04 |  |
|------------|----|---|----------------|--|

Designated States (National): CA CN JP KR US

Designated States (Regional): AT BE CH CY DE DK ES FI FR GB GR IE IT LU

MC NL PT SE

|             |   |  |             |                            |
|-------------|---|--|-------------|----------------------------|
| JP 11562927 | X |  | C07D-471/04 | Based on patent WO 9967239 |
|-------------|---|--|-------------|----------------------------|

Abstract (Basic): WO 9967239 A1

Abstract (Basic):

NOVELTY -

3-(2-Substituted-3-oxo-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo(1,5-a)pyridine compounds (I) and their salts are new.

DETAILED DESCRIPTION -

3-(2-Substituted-3-oxo-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo(1,5-a)pyridine compounds of formula (I) and their salts are new.

R=alkanoylalkyl (optionally substituted by cycloalkylalkanoylalkyl, optionally substituted and optionally protected carboxy, optionally substituted aryl unsaturated heterocyclyl, optionally substituted pyrrolidinyl, morpholino, optionally substituted piperazinyl, or thiomorpholino), N-(optionally substituted alkyl)carbamoylalkyl, N,N-dialkylcarbamoylmethyl or optionally substituted piperidinylalkanoylmethyl;

alkyl and alkanoyl groups are lower.

ACTIVITY - Analgesic; antidepressant; diuretic; cardiant; vasodilator; antiasthmatic; platelet aggregation inhibitor

MECHANISM OF ACTION - Adenosine antagonist

3-(2-(1-Methyl-2-oxopropyl)-3-oxo-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo(1,5-a)pyridine at 320 nM suppressed binding to rat adrenal cortex A1 receptors by more than 90 %.

USE - (I) are adenosine antagonists used to treat animals and humans (claimed). Useful as nootropics, anti-dementia, neural stimulants, analgesics, cardioprotectants, antidepressants, cerebral circulation improvers, tranquillizers, agents for heart failure, hypotensives, bronchodilators, diuretics, immunosuppressants associated

with adenosine, for strengthening cognition, increasing motility, cardioprotection, vasodilation, renal blood flow promotion, renal protection and function improvement, lipid degradation promotion, insulin secretion stimulation, erythropoietin production accelerator, platelet aggregation inhibitor, for treating nephrotoxicity, edema, obesity, asthma, apnea, gout, high blood levels of uric acid, sudden infant death syndrome (SIDS), diabetes, ulcers, pancreatitis, Meniere's syndrome, anemia, thrombosis, myocardial infarct, embolism, occlusive arteriosclerosis, thrombotic arteritis, cerebral ischemia, angina, Parkinson's disease, anxiety, ischemic reperfusion, shock, post-surgical circulatory failure, post-resuscitation contraction failure, arrhythmia, electromechanical dissociation, hemodynamic collapse, systemic inflammatory response syndrome, multi-organ failure, nephrotic syndrome, nephritis, osteoporosis and catalepsy.

pp; 63 DwgNo 0/0